

```
ring nodes :
                                          19
                                             20
                                                 21
              5 6
                   13
                      14 15
                              16
                                  17
                                      18
   1 2 3 4
chain bonds :
                                         5-7 6-31 6-32 8-9 8-10 8-20
   1-33 1-35 2-8 3-29 3-30 4-27 4-28
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15
                                                  15-16 16-17
                                                               17-18
   17-19 18-21 19-20 20-21
exact/norm bonds :
   1-2 1-6 1-33 1-35 2-3 2-8 3-4 3-29 3-30 4-5 4-27 4-28
   5-7 6-31 6-32 8-9 8-10 17-19 18-21 19-20 20-21
exact bonds :
   8-20
normalized bonds :
   13-14 13-18 14-15
                      15-16 16-17 17-18
isolated ring systems :
   containing 13 :
G1:H, CH3
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom

30:CLASS

20:Atom 21:Atom 24:CLASS 27:CLASS 28:CLASS 29:CLASS

G2:H, [*1]

Match level:

31:CLASS

32:CLASS 33:CLASS 35:CLASS Generic attributes :

7:
Saturation : Unsaturated
Type of Ring System : Monocyclic

=> d que stat

STR

· Ak 1

Structure attributes must be viewed using STN Express query preparation.

=> s 11

G1 H,Me G2 H, [@1]

SAMPLE SEARCH INITIATED 17:19:08 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 168 TO ITERATE

100.0% PROCESSED 168 ITERATIONS 12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

4137 PROJECTED ITERATIONS: 2583 TO

33 TO PROJECTED ANSWERS: 447

L2 12 SEA SSS SAM L1

=> d 12 1 5 10

ANSWER 1 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN L2

RN

845630-29-7 REGISTRY Entered STN: 15 Mar 2005 ED

INDEX NAME NOT YET ASSIGNED CN

3D CONCORD FS

C24 H24 N6 O MF

SR Chemical Library

Page 1

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 5 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 587871-25-8 REGISTRY

ED Entered STN: 18 Sep 2003

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, compd. with bromine (1:1) (9CI) (CA INDEX NAME)

MF C17 H19 N5 . Br2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} H & CH_2 - N \\ \hline & N \end{array}$$

CM 2

CRN 7726-95-6 CMF Br2

Br-Br

Page 2

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 10 OF 12 REGISTRY COPYRIGHT 2005 ACS on STN

RN 383411-98-1 REGISTRY

ED Entered STN: 16 Jan 2002

CN Benzofuro[3,2-d]pyrimidine, 4-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C22 H20 N6 O

SR Chemical Library

Supplier: LaboTest

LC STN Files: CHEMCATS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=>

Uploading C:\Documents and Settings\EBernhardt\My Documents\Stnexp\Queries\10656672-2.str

 At^1 $2t^1$

chain nodes : 7 8 9 10 24 27 28 29 30 31 32 33 35 ring nodes : 1 2 3 4 5 6 13 14 15 16 17 18 19 chain bonds : 1-33 1-35 2-8 3-29 3-30 4-27 4-28 5-7 6-31 6-32 8-9 8-10 8-20 1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 17-19 18-21 19-20 20-21 exact/norm bonds : 1-2 1-6 1-33 1-35 2-3 2-8 3-4 3-29 3-30 4-5 4-27 4-28 5-6 5-7 6-31 6-32 8-9 8-10 17-19 18-21 19-20 20-21 exact bonds : 8-20 normalized bonds : 13-14 13-18 14-15 15-16 16-17 17-18 isolated ring systems : containing 13:

G1:H,CH3

G2:H,[*1]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 24:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 35:CLASS

Generic attributes :

7:

Saturation : Unsaturated Type of Ring System : Monocyclic

L3 STRUCTURE UPLOADED

=> s 13

SAMPLE SEARCH INITIATED 17:21:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 168 TO ITERATE

100.0% PROCESSED 168 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

2583 TO 4137

PROJECTED ANSWERS:

9 TO 360

L4 9 SEA SSS SAM L3

=> d 14 1-9

L4 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 726174-08-9 REGISTRY

ED Entered STN: 13 Aug 2004

FS 3D CONCORD

MF C18 H21 N5 S

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 689261-14-1 REGISTRY

ED Entered STN: 04 Jun 2004

CN 1H-Benzimidazole, 2-[[4-(4-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H19 N5

CI COM

SR CA

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 587871-25-8 REGISTRY

ED Entered STN: 18 Sep 2003

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, compd. with bromine (1:1) (9CI) (CA INDEX NAME)

MF C17 H19 N5 . Br2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H & CH_2 - N \\
\hline
N & N
\end{array}$$

CM · 2

CRN 7726-95-6

CMF Br2

Br-Br

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 587871-24-7 REGISTRY

ED Entered STN: 18 Sep 2003

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H19 N5 . 2 C4 H6 O6

SR CA

10/656672

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 587871-22-5 REGISTRY

ED Entered STN: 18 Sep 2003

CN L-Glutamic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H19 N5 . C5 H9 N O4

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 56-86-0 CMF C5 H9 N O4

Absolute stereochemistry.

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 587871-17-8 REGISTRY

ED Entered STN: 18 Sep 2003

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H19 N5 . 2 C4 H6 O6

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 587870-90-4 REGISTRY

ED Entered STN: 18 Sep 2003

CN Heptanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

MF C17 H19 N5 . C7 H14 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
CH_2 \\
N \\
N
\end{array}$$

CM 2

CRN 111-14-8 CMF C7 H14 O2

 $Me^{-(CH_2)}5^{-CO_2H}$

2 REFERENCES IN FILE CA (1907 TO DATE):

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 140945-43-3 REGISTRY

ED Entered STN: 01 May 1992

CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-[[4-(1H-pyrazol-1-yl)-1-piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME) OTHER CA INDEX NAMES:

CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-[[4-(1H-pyrazol-1-yl)-1-piperazinyl]methyl]-, (Z)-2-butenedioate (1:1)

FS STEREOSEARCH

MF C19 H26 N6 O . C4 H4 O4

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

CM 1

CRN 140945-42-2 CMF C19 H26 N6 O

10/656672

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 7.0006-25-6 REGISTRY

ED Entered STN: 16 Nov 1984

CN 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2-[[4-(1,3-Thiazol-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole

FS 3D CONCORD

MF C15 H17 N5 S

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

7 REFERENCES IN FILE CA (1907 TO DATE)

7 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d his

(FILE 'HOME' ENTERED AT 17:18:00 ON 29 SEP 2005)

FILE 'REGISTRY' ENTERED AT 17:18:10 ON 29 SEP 2005 L1 STRUCTURE UPLOADED

10/656672

L2 12 S L1

L3 STRUCTURE UPLOADED

L4 9 S L3

=> s 13 sss full

FULL SEARCH INITIATED 17:21:39 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3591 TO ITERATE

100.0% PROCESSED 3591 ITERATIONS

103 ANSWERS

SEARCH TIME: 00.00.01

L5 103 SEA SSS FUL L3

=> save 13

ENTER NAME OR (END):ten656672/a

L3 IS NOT AN ANSWER SET

A name ending in /A can only be used to save the L-number answer set resulting from a search.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 185.99 186.20

FULL ESTIMATED COST

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

L6 13 L5

=> d 16 1-13 bib abs fhitstr

L6 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:546484 CAPLUS

DN 141:106462

TI Preparation of pyrazoles as inhibitors of HSP90

IN Beswick, Mandy Christine; Drysdale, Martin James; Dymock, Brian William;

McDonald, Edward

PA Vernalis Cambridge Limited, UK; Cancer Research Technology Ltd.; The Institute of Cancer Research

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.			KIND DATE			į	APPLICATION NO.						DATE					
ΡI	WO 2004056782					A1 20040708		WO 2003-GB5501						20031218					
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
			CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
								ID,											
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	
			NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	
			TM,	TN,	TR,	TT,	TZ,	UA,	ŬĠ,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
			BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG
	CA	2509	403			AA		2004	0708		CA 2	003-	2509	403		2	0031	218	
	EP	1572	664			A 1		2005	0914		EP 2	003-	7680	07		2	0031	218	
		R:	•		•		•	ES,	•		-	-				-	-	PT,	
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL,	TR,	BG,	CZ,	EE,	HU,	SK		
PRAI		2002																	
	WO	2003	-GB5	501		W		2003	1218										
os	MA	RPAT	141:	1064	62														
GT																			

The title compds. [I or II; Ar = (un)substituted aryl, arylalkyl, heteroaryl, heteroarylalkyl; R1 = H, alkyl; R2 = H, (un)substituted cycloalkyl, cycloalkenyl, alkyl, alkenyl, alkynyl, carboxyl, carboxamide or carboxyl ester group; A = non-arom. carbocyclic or heterocyclic ring wherein (i) a ring carbon is optionally substituted, and/or (ii) a ring nitrogen is optionally substituted by a group of formula -(Alkl)p(Cyc)n(Alk3)m(Z)r(Alk2)sQ where Alkl, Alk2 and Alk3 = alkyl; Cyc = carbocyclic or heterocyclic radical; m, n, p, r and s = 0-1; Z = 0, S, CO, S02, etc.; Q = H, (un)substituted carbocyclic or heterocyclic radical] which are inhibitors of HSP90, and are of value in the treatment of diseases responsive to HSP90 inhibition such as cancer, were prepd. E.g., a multi-step synthesis of 4-chloro-6-(4-piperazin-1-yl-1H-pyrazol-3-yl)benzene-1,3-diol which showed IC50 of <50 .mu.M in the malachite green ATPase assay, was given.

IT **719287-59-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrazoles as inhibitors of HSP90)

RN 719287-59-9 CAPLUS

CN 1,3-Benzenediol, 4-chloro-6-[4-[4-[(1-methyl-1H-benzimidazol-2-yl)methyl]-1-piperazinyl]-1H-pyrazol-3-yl]- (9CI) (CA INDEX NAME)

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:533974 CAPLUS

DN 141:89087

TI Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related compounds that are useful in treating sexual dysfunction

IN Cowart, Marlon D.; Patel, Meena V.; Kolasa, Teodozyi; Brioni, Jorge D.; Rohde, Jeffrey J.; Engstrom, Kenneth M.; Stewart, Andrew O.; Daanen, Jerome F.; Bhatia, Pramila A.

PA USA

SO U.S. Pat. Appl. Publ., 59 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

FAN. CNT I					
PATENT	NO.	KIND	DATE	APPLICATION NO.	. DATE
PI US 200	04127504	A1	20040701	US 2003-656672	20030905
PRAI US 200	02-408784P	P	20020906		
OS MARPA	r 141:89087				
GI					

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6
 R^6

Ι

Title compds. (I) [wherein A = (un)substituted Ph, pyridinyl, pyrimidinyl, AΒ thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo) alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. IT 70006-24-5P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 70006-24-5 CAPLUS

benzimidazole

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

- L6 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2004:465135 CAPLUS
- DN 141:150462
- TI Discovery of 2-(4-Pyridin-2-ylpiperazin-1-ylmethyl)-1H-benzimidazole (ABT-724), a Dopaminergic Agent with a Novel Mode of Action for the Potential Treatment of Erectile Dysfunction
- AU Cowart, Marlon; Latshaw, Steven P.; Bhatia, Pramila; Daanen, Jerome F.; Rohde, Jeffrey; Nelson, Sherry L.; Patel, Meena; Kolasa, Teodozyi; Nakane, Masaki; Uchic, Marie E.; Miller, Loan N.; Terranova, Marc A.; Chang, Renjie; Donnelly-Roberts, Diana L.; Namovic, Marian T.; Hollingsworth, Peter R.; Martino, Brenda R.; Lynch, James J., III; Sullivan, James P.; Hsieh, Gin C.; Moreland, Robert B.; Brioni, Jorge D.; Stewart, Andrew O.
- CS Department of Neuroscience Research, Abbott Laboratories, Abbott Park, IL, 60064-6123, USA
- SO Journal of Medicinal Chemistry (2004), 47(15), 3853-3864 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- OS CASREACT 141:150462
- AB A new class of agents with potential utility for the treatment of erectile dysfunction has been discovered, guided by the hypothesis that selective D4 agonists are erectogenic but devoid of the side effects typically assocd. with dopaminergic agents. The lead agent 2-(4-pyridin-2ylpiperazin-1-ylmethyl)-1H-benzimidazole (1, ABT-724) was discovered by optimization of a series of benzimidazole arylpiperazines. This highly selective D4 agonist was found to be very potent and efficacious in vivo, eliciting penile erections in rats at a dose of 0.03 .mu.mol/kg, with a pos. response rate of 77% erectile incidence. Even at high doses, it was devoid of side effects in animal models of central nervous system behaviors, emesis, or nausea. The structure-activity relationship of the parent benzimidazole series leading to 1 is described, with the detailed in vitro and in vivo profiles described. Distinctive structural features were discovered that are assocd. with D4 selective agonism in this series of analogs.

IT 392321-86-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and structure-activity relationship studies of ABT-724 and arylpiperazine-piperidine analogs, as dopaminergic agents with a novel mode of action for treatment of erectile dysfunction)

RN 392321-86-7 CAPLUS

CN 1H-Benzimidazole, 1-methyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:388216 CAPLUS

DN 141:99528

TI Activation of dopamine D4 receptors by ABT-724 induces penile erection in rats

AU Brioni, Jorge D.; Moreland, Robert B.; Cowart, Marlon; Hsieh, Gin C.; Stewart, Andrew O.; Hedlund, Petter; Donnelly-Roberts, Diana L.; Nakane, Masaki; Lynch, James J., III; Kolasa, Teodozyi; Polakowski, James S.; Osinski, Mark A.; Marsh, Kennan; Andersson, Karl-Erik; Sullivan, James P.

CS Neuroscience Research, Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, 60064, USA

SO Proceedings of the National Academy of Sciences of the United States of America (2004), 101(17), 6758-6763 CODEN: PNASA6; ISSN: 0027-8424

PB National Academy of Sciences

DT Journal

LA English

AΒ Apomorphine, a nonselective dopamine receptor agonist, facilitates penile erection and is effective in patients suffering from erectile dysfunction. The specific dopamine receptor subtype(s) responsible for its erectogenic effect is not known. Here we report that the dopamine D4 receptor plays a role in the regulation of penile function. ABT-724 is a selective dopamine D4 receptor agonist that activates human dopamine D4 receptors with an EC50 of 12.4 nM and 61% efficacy, with no effect on dopamine D1, D2, D3, or D5 receptors. ABT-724 dose-dependently facilitates penile erection when given s.c. to conscious rats, an effect that is blocked by haloperidol and clozapine but not by domperidone. A proerectile effect is obsd. after intracerebroventricular but not intrathecal administration, suggesting a supraspinal site of action. S.c. injections of ABT-724 increase intracavernosal pressure in awake freely moving rats. In the presence of sildenafil, a potentiation of the procrectile effect of ABT-724 is obsd. in conscious rats. The ability of ABT-724 to facilitate penile erection together with the favorable side-effect profile indicates that ABT-724 could be useful for the treatment of erectile dysfunction.

IT **70006-24-5**, ABT 724

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ABT-724 activation of D4 receptors induces penile erection)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \end{array} CH_2 - N \\ N \\ \end{array}$$

RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:236724 CAPLUS

DN 140:399345

- TI Dopamine D4 ligands and models of receptor activation: 2-(4-pyridin-2-ylpiperazin-1-ylmethyl)-1H-benzimidazole and related heteroarylmethylarylpiperazines exhibit a substituent effect responsible for additional efficacy tuning
- AU Stewart, Andrew O.; Cowart, Marlon D.; Moreland, Robert B.; Latshaw, Steve P.; Matulenko, Mark A.; Bhatia, Pramila A.; Wang, Xueqing; Daanen, Jerome F.; Nelson, Sherry L.; Terranova, Marc A.; Namovic; Marian T.; Donnelly-Roberts, Diana L.; Miller, Loan N.; Nakane, Masaki; Sullivan, James P.; Brioni, Jorge D.
- CS Global Pharmaceutical Research and Development, Department R4ND, Neuroscience Research, Abbott Laboratories, Abbott Park, IL, 60064-6115, USA
- SO Journal of Medicinal Chemistry (2004), 47(9), 2348-2355 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- A series of subtype selective dopamine D4 receptor ligands from the AB heteroarylmethylphenylpiperazine class have been discovered that exhibit a remarkable structure-activity relation (SAR), revealing a substituent effect in which regiosubstitution on the terminal arylpiperazine ring can modulate functional or intrinsic activity. Other structure-dependent efficacy studies in the dopamine D4 field have suggested a crit. interaction of the heteroarylmethyl moiety with specific protein microdomains in controlling intrinsic activity. The authors studies indicate that for some binding orientations, the phenylpiperazine moiety also plays a key role in detg. efficacy. These data also implicate a kinetic or efficiency term, contained within measured functional affinities for agonists, which support a sequential binding and conformational stabilization model for receptor activation. The structural similarity between partial agonist and antagonist, within this subset of ligands, and lack of bioisosterism for this substituent effect are key phenomena for these hypotheses.
- IT 70006-24-5p, 2-(4-Pyridin-2-ylpiperazin-1-ylmethyl)-1Hbenzimidazole

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(dopamine D4 ligands and models of receptor activation using 4-pyridinylpiperazinylmethyl-1H-benzimidazole and related heteroarylmethylarylpiperazines which exhibit a substituent effect)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & \\ & N & \\ & & N \end{array}$$

RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

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AN
     2003:678507 CAPLUS
     139:214467
DN
     Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related
ΤI
     compounds that are useful in treating sexual dysfunction
IN
     Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
     O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey;
     Engstrom, Kenneth M.
PA
SO
     U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 94,265.
     CODEN: USXXCO
DT
     Patent
LА
     English
FAN.CNT 2
                                            APPLICATION NO.
                                                                    DATE
     PATENT NO.
                         KIND
                                DATE
                         ____
                                _____
                                             -----
     US 2003162790
                          A1
                                20030828
                                            US 2002-236812
                                                                    20020906
PΙ
     US 2002169167
                          A1
                                20021114
                                            US 2002-94265
                                                                    20020308
                                20030918
                                            CA 2003-2478028
     CA 2478028
                          AA
                                                                    20030304
                                            WO 2003-US6406
     WO 2003076431
                          A1
                                20030918
                                                                    20030304
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                20040928
                                            BR 2003-5708
     BR 2003005708
                                                                    20030304
                          Α
     EP 1483258
                          A1
                                 20041208
                                            EP 2003-716268
                                                                    20030304
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRAI US 2001-274805P
                                 20010309
                          Ρ
     US 2001-296078P
                          P
                                 20010605
     US 2002-94265
                          A2
                                 20020308
     US 2001-340452P
                          Р
                                 20011214
     US 2002-236812
                          Α
                                 20020906
                                20030304
     WO 2003-US6406
                          W
     MARPAT 139:214467
os
GΙ
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$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6

AΒ Title compds. (I) [wherein A = (un) substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un) substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo) alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. ΙT 70006-24-5P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-

benzimidazole

Ι

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) INDEX NAME)

$$H$$
 N
 CH_2
 N
 N

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ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
L6
     2003:23532 CAPLUS
ΑN
DN
     138:89812
     Preparation of heteroalkyl-substituted benzimidazoles useful in treating
TI
     sexual dysfunction
     Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
IN
     O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.
PA
    U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 803,537,
SO
     abandoned.
     CODEN: USXXCO
DT
     Patent
     English
LA
FAN.CNT 3
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                                            ______
                         ____
    US 2003008878
                          A1
                                20030109
                                            US 2001-874484
                                                                    20010605
PΙ
                                            US 2001-17939
    US 2002169166
                          A1
                                20021114
                                                                    20011214
    CA 2439943
                                            CA 2002-2439943
                          AA
                                20021107
                                                                    20020306
     WO 2002088093
                                            WO 2002-US7791
                         A1
                                20021107
                                                                   20020306
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                20040102
     EP 1373220
                                           EP 2002-731130
                                                                   20020306
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             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                20040728
                                            CN 2002-809382
                                                                    20020306
     CN 1516693
                          Α
                                            JP 2002-585395
     JP 2005507370
                          Т2
                                20050317
                                                                    20020306
                                            BR 2002-5812
     BR 2002005812
                                20050503
                                                                    20020306
                          Α
     NO 2003003959
                                20031110
                                            NO 2003-3959
                                                                    20030908
                          Α
     ZA 2003007007
                                20041208
                                            ZA 2003-7007
                                                                    20030908
                          Α
     BG 108230
                                20050430
                                            BG 2003-108230
                                                                    20031003
                          Α
PRAI US 2001-803537
                                20010309
                          B2
     US 2001-874484
                                20010605
                          Α2
     US 2001-17939
                          Α
                                20011214
     WO 2002-US7791
                          W
                                20020306
     MARPAT 138:89812
os
GΙ
```

$$R^2$$
 R^3
 R^4
 R^5
 R^1
 R^2
 R^3
 R^4
 R^5

AB Title compds. I [A = (hetero)aryl; L = CH2, CH2CH2, etc.; R1-4 = H, alkoxy, alkenyl, alkyl, alkylsulfinyl, alkylsulfonyl, etc.; R5 = H, alkoxycarbonyl, alkyl, etc.; Z = N, C(H)] are prepd. For instance, 1-(2-pyridyl)piperazine is alkylated with 2-chloromethylbenzimidazole (DMF, Et3N, 16 h) to give II. II induced statistically significant penile erections in rats after s.c. administration for doses of 0.01 .mu.mol/kg to 0.10 .mu.mol/kg. I are useful for the treatment of sexual dysfunction.

IT **70006-24-5p**, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole

II

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heteroalkyl-substituted benzimidazoles as dopamine agonists useful in treating sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:888718 CAPLUS

DN 137:384842

TI Benzimidazole compounds and antiviral uses thereof

IN Lackey, John William; Kinder, Daniel S.; Tvermoes, Nicolai A.

PA Trimeris, Inc., USA

SO PCT Int. Appl., 143 pp. CODEN: PIXXD2

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DT Patent
LA English
FAN CNT 1
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r Auv.	PATENT NO.						KIND DATE		APPLICATION NO.						DATE				
ΡI	WO 2002092575				A1 200213			1121	WO 2002-US14598						20020510				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
			UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,	
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
	US 2003119754				A1		2003	0626	1	US 2002-141839					20020509				
PRAI	US	2001	-290	038P		P		2001	0511										
OS GI	MAF	RPAT	137:	3848	42														

AB Title compds. I [R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxy, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, OH, alkoxy, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkylene, C:N, CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4] were prepd. for use as virucides that inhibit membrane fusion assocd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3 = 2-quinolinyl, R4-R7 = H] had IC50 of 5.16 .mu.g/mL.

I

IT 475648-10-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475648-10-3 CAPLUS

CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:869583 CAPLUS

137:353027 DN

TI Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related compounds that are useful in treating sexual dysfunction

Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey IN

PA

U.S. Pat. Appl. Publ., 53 pp. SO

CODEN: USXXCO

DTPatent

LΑ English

FAN.	FAN.CNT 2 PATENT NO.						KIND DATE			APPLICATION NO.						DATE		
PI	US	2003162790			A1 2003082			0828	US 2002-94265 US 2002-236812						20020906			
									CA 2003-2478028 WO 2003-US6406									
	WO	2003 W:															CH,	
		٧٠.	,	•	•	•	•		•	•	•	•	•	•	•	•	GE,	•
			•	•			•		•	•	•	•	•	-		-	LK,	
			•	•	•	•	•	•	•	•	•		•	•	•		OM,	
			•	•	•	•	•	•	•	•		•	•	•	•	•	TT,	•
								YU,					,	,			,	•
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			KG,	KZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
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	BR	2003	0057	80						BR 2003-5708						20030304		
	ΕP	1483	258			A 1		2004	1208		EP 2	003-	7162	68		. 20	0030	304
		R:	AT,															PT,
			IE,					RO,										
		2004				A1					US 2	003-	6994	65		2	0031	031
PRAI		2001						2001										
		2001																
		2001																
		2002						2002										
		2002						2002										
	WO	2003	-US6	406		W		2003	0304									

OS MARPAT 137:353027 GI

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6

Ι

Title compds. (I) [wherein A = (un) substituted Ph, pyridinyl, pyrimidinyl, AB thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. 70006-24-5P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-IT

benzimidazole
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles file

(dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \end{array} CH_2 - N \\ N \\ \end{array}$$

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ANSWER 10 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
L6
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2002:869582 CAPLUS AN

137:353026 DN

Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related ΤI compounds that are useful in treating sexual dysfunction

Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey IN

PA

U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 874,484. so CODEN: USXXCO

DTPatent

LΑ English

FAN.		3 CENT	NO.			KINI		DATE								D)	ATE		
PI	US	2002169166 2003008878				A1 A1	A1 20021114 A1 20030109			US 2001-17939 US 2001-874484					20010605				
		2439				AA			1107										
	WO						A1 20021107												
		W:			•	•	•	•	AZ,	•	•	-		-	-	-	-	-	
									DM,										
			•	•				•	IS,	•		•	-			-		-	
			-						MG,										
						•	•		SG, ZM,		•				•			-	тм
		DW.	•	•	•	•	•	•	SD,	•							-	-	114
		KW:	-		-	-		-	GB,										
							•	•	GA,					-		-	-	-	
	EP	1373			CL,				0102										
					CH.				FR,										
			-		-				MK,				,	20,	,	J_,	,	,	
	CN	1516	•	•	•	•			0728				8093	82		2	0020	306	
	JР	2005	5073	70		Т2			0317										
	BR	2002	0058	12		Α			0503										
		2003							1110										
		1082				Α		2005	0430		BG 2	003-	1082	30		2	0031	003	
PRAI	US	2001						2001	0309										
	US	2001	-874	484		A2		2001	0605										
	US	2001	-179	39		Α		2001	1214										
	WO	2002	-US7	791		W		2002	0306										
os	MA	RPAT	137:	3530	26														

GΙ

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6

Title compds. (I) [wherein A = (un) substituted Ph, pyridinyl, pyrimidinyl, AB thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with \cdot an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. IT

70006-24-5p, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-

Ι

benzimidazole RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

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ANSWER 11 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
 AN .
      2002:849600 CAPLUS
      137:353023
 DN
 ΤI
      Preparation of 2-heterocycloalkyl-benzimidazole derivatives for treating
      sexual dysfunction
 IN
      Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew
      O.; Kolasa, Teodozyj; Rohde, Jeffrey J.; Patel, Meena V.; Brioni, Jorge D.
      Abbott Laboratories, USA
 PA
 SO
      PCT Int. Appl., 115 pp.
      CODEN: PIXXD2
· DT
      Patent
 LΑ
      English
 FAN.CNT 3
                          KIND
                                 DATE
                                              APPLICATION NO.
                                                                     DATE
      PATENT NO.
                          ----
                                              _____
                                                                     _____
      WO 2002088093
                                 20021107
                                             WO 2002-US7791
                                                                     20020306
                           A1
 PΙ
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                     20010605
                                             US 2001-874484
      US 2003008878
                           Α1
                                 20030109
      US 2002169166
                           A1
                                  20021114
                                              US 2001-17939
                                                                     20011214
      CA 2439943
                                 20021107
                                              CA 2002-2439943
                                                                     20020306
                           AA
      EP 1373220
                           Α1
                                 20040102
                                              EP 2002-731130
                                                                     20020306
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      JP 2005507370
                           Т2
                                  20050317
                                              JP 2002-585395
                                                                     20020306
                                              BR 2002-5812
      BR 2002005812
                           Α
                                  20050503
                                                                     20020306
      NO 2003003959
                                 20031110
                                              NO 2003-3959
                                                                     20030908
                           Α
 PRAI US 2001-803537
                                 20010309
                           Α
      US 2001-874484
                                 20010605
                           Α
      US 2001-17939
                           Α
                                 20011214
      WO 2002-US7791
                           W
                                 20020306
      MARPAT 137:353023
 os
 GI
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$$R^2$$
 R^3
 R^4
 R^5
 R^2
 R^6
 R^6

The present invention relates to the use of I [A = Ph, pyridyl, pyrimidinyl, thiophenyl, etc.; X = NH, O, S; L = (CH2)1-4; R1-4 = H, alkoxy, alkenyl, alkyl, alkylsulfinyl, etc.; R5 = H, alkoxycarbonyl, alkyl, alkylcarbonyl, arylcarbonyl, etc.; R6 = H, alkyl; Z = N, C (if dotted line is a bond), CH (if dotted line is absent)] for the treatment of sexual dysfunction. For instance, 1-(2-pyridyl)piperazine was reacted with 2-chloromethylbenzimidazole to afford II which was isolated and converted to the maleate salt. II at s.c. doses of 0.01 - 0.10 .mu.mol/kg induced statistically significant penile erections in rats compared to vehicle (L-ascorbic acid in saline).

TT 70006-24-5p, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1Hbenzimidazole

II

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-piperazino/piperidino-alkyl-benzimidazole derivs. for treating sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H \\
N \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 - N \\
N \\
N
\end{array}$$

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN AN 1992:235655 CAPLUS

10/656672

DN 116:235655

TI Preparation of 2-[4-(azolobutyl)piperazino(methyl)]benzimidazoles and analogs as antihistaminics

IN Cuberes-Altisent, Maria Rosa; Frigola-Constansa, Jordi; Pares-Corominas, Juan

PA Laboratorios del Dr. Esteve S. A., Spain

SO Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

FAN.	CNT 1 PATENT NO.	KIND.	DATE	APPLICATION NO.	DATE
PI	EP 468884	A1	19920129	EP 1991-402055	19910724
	EP 468884	B1	19951220		
			•	R, IT, LI, LU, NL, SE	
	FR 2665161	A1	19920131	FR 1990-9563	19900726
	FR 2665161	B1	19921127		
	NO 9102669	A	19920127	NO 1991-2669	19910708
	NO 178576	В	19960115		
	NO 178576	С	19960424		
	RO 109736	B1	19950530	RO 1991-148010	19910715
	KR 183028	В1	19990501	KR 1991-12584	19910723
	AU 9181280	A1	19920130	AU 1991-81280	19910724
	AU 638857	B2	19930708	•	
	AT 131822	E	19960115	AT 1991-402055	19910724
	CA 2047880	AA	19920127	CA 1991-2047880	19910725
	ZA 9105839	Α	19920429	ZA 1991-5839	19910725
	US 5182280	Α	19930126	.US 1991-735653	19910725
	ES 2038074	A1	19930701	ES 1991-1740	19910725
	ES 2038074	B1	19940201		
	RU 2024519	C1	19941215	RU 1991-5001216	19910725
	PL 167222	B1	19950831	PL 1991-291247	19910725
	CN 1058404	Α	19920205	CN 1991-105154	19910726
	CN 1030915	В	19960207		
	ни 58320	A2	19920228	HU 1991-2518	19910726
	HU 215109	В	20000428		
	JP 04234387	A2	19920824	JP 1991-187721	19910726
	JP 08032703	B4	19960329		
	CZ 280185	В6	19951115	CZ 1991-2351	19910726
	IN 176350	Α	19960504	IN 1991-DE679	19910726
PRAI	FR 1990-9563	Α	19900726		
os	MARPAT 116:23565				
GΊ					

AB Title compds. [I; R = azolo group Q; R1, R2 = H, halo, alkyl, alkoxy, etc.; X, Y, Z, W = N, (substituted) CH; n = 0, 1; m = 2-4] were prepd. Thus, I (R1 = R2 = H, n = 1) (II; R = H, m = 0) was condensed with

N-(4-bromobutyl)phthalimide and the product hydrazinolyzed to give II (m = 4) (III; R = NH2) which was cyclocondensed with 2,5-dimethoxytetrahydrofuran to give III (R = pyrrolo). III (R = 1,2,4-triazol-1-yl) had ED50 of 0.036 mg/kg i.p. against compd. 48/80-induced mortality in rats.

IT 140945-43-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as antihistaminic)

RN 140945-43-3 CAPLUS

CN 1H-Benzimidazole, 1-(2-ethoxyethyl)-2-[[4-(1H-pyrazol-1-yl)-1-piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 140945-42-2 CMF C19 H26 N6 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

L6 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1979:204028 CAPLUS

DN 90:204028

TI Synthesis and anthelmintic activity of 2-(N4-substituted-N1-piperazinyl)methyl-5-(or 6)-substituted benzimidazoles

AU Sule, D. P.; Shah, M. H.; Ghooi, Shaila; Bhide, M. B.

CS Dep. Chemother., Haffkine Inst., Bombay, India

SO Bulletin of Haffkine Institute (1978), 6(2), 62-4 CODEN: BHFIA9; ISSN: 0304-9515

DT Journal

LA English

GΙ

- AB Sixteeen piperazinylmethylbenzimidazoles I (R = NO2, H; R1 = Me, CH2CH2OH, benzyl, Ph, p-ClC6H4, o-MeOC6H4, o-tolyl, 2-pyridyl, 2-thiazolyl) were prepd. by reaction of the piperazine II with the resp. 2-chloromethylbenzimidazoles, which were prepd. from 3,4-(H2N)2C6H3R and ClCH2CO2H. The majority of I showed anthelmintic activity >80% at 500 mg/kg as compared to Yomesan showing 100% activity at 500 mg/kg. I (R = NO2) were more active than I (R = H).

\Rightarrow d 16 6-13 bib abs hitstr

- L6 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2003:678507 CAPLUS
- DN 139:214467
- TI Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related compounds that are useful in treating sexual dysfunction
- IN Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey; Engstrom, Kenneth M.
- PA USA
- SO U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 94,265. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	us 2003162790	A1	20030828	US 2002-236812	20020906
	US 2002169167	A1	20021114	US 2002-94265	20020308
	CA 2478028	AΑ	20030918	CA 2003-2478028	20030304

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20030304
     WO 2003076431
                            A1
                                  20030918
                                               WO 2003-US6406
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX; MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                               BR 2003-5708
                                  20040928
                                                                        20030304
     BR 2003005708
                            Α
                                                                        20030304
     EP 1483258
                            A1
                                  20041208
                                               EP 2003-716268
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
PRAI US 2001-274805P
                            Ρ
                                  20010309
     US 2001-296078P
                            Р
                                  20010605
     US 2002-94265
                            A2
                                  20020308
     US 2001-340452P
                            Р
                                  20011214
     US 2002-236812
                                  20020906
                            Α
     WO 2003-US6406
                            W
                                  20030304
os
     MARPAT 139:214467
GΙ
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$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^7
 R^8
 R^8

AB Title compds. (I) [wherein A = (un)substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un)substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added

to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. 70006-24-5P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction) RN 70006-24-5 CAPLUS 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA CN

$$\begin{array}{c|c} & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

70006-25-6P, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1-yl]methyl]-1H-ITbenzimidazole 474417-17-9P, 2-[[4-(Pyridin-2-yl)piperazin-1yl]methyl]-1H-benzimidazole maleate (1:1) 474417-18-0P, 2-[[4-(Pyrimidin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole **474417-19-1P**, 2-[[4-(6-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-20-4P, 2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]nicotinonitrile 474417-21-5P, 5,7-Dibromo-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole **474417-22-6P**, 5-Fluoro-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-24-8P, Isobutyl 2-[[4-(pyridin-2yl)piperazin-1-yl]methyl]-1H-benzimidazole-1-carboxylate 474417-25-9P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1-(pyrrolidin-1-ylcarbonyl)-1H-benzimidazole 474417-26-0P, N, N-Dimethyl-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole-1carboxamide 474417-39-5P, 2-[[2-Methyl-4-(pyridin-2-yl)piperazin-1-y1] methyl] - 1H-benzimidazole 474417-41-9P, 2-[[(2S)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-43-1P , 2-[[(2R)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-45-3P, N-[2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-yl]methanesulfonamide **474417-47-5p**, 2-[[4-(3-Fluoropyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-48-6P, 6-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-ol 474417-51-1P, 2-[[4-(3-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-52-2P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole bis((L)tartrate) RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction) RN

70006-25-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 474417-17-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
CH_2 \\
N \\
N
\end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl](9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$Br$$
 N
 NH
 CH_2
 N
 N
 N
 N
 N

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & N & \\
 & CH_2 - N & N \\
 & N & \\
 &$$

RN 474417-39-5 CAPLUS

CN 1H-Benzimidazole, 2-[[2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & CH_2 & N & N \\ \hline & NH & NH & N \\ \hline \end{array}$$

RN 474417-41-9 CAPLUS

CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-43-1 CAPLUS

CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-45-3 CAPLUS

CN Methanesulfonamide, N-[2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-51-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-52-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} H & CH_2 - N \\ \hline N & N \end{array}$$

CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.

IT 474417-50-0p, 2-[[4-[5-(Benzyloxy)pyridin-2-yl]piperazin-1yl]methyl]-1H-benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 474417-50-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-[5-(phenylmethoxy)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$N$$
 CH_2
 N
 N
 O
 CH_2
 O

IT 587870-74-4P 587870-75-5P 587870-76-6P 587870-77-7P 587870-78-8P 587870-79-9P 587870-80-2P 587870-81-3P 587870-82-4P 587870-83-5P 587870-84-6P 587870-85-7P 587870-86-8P 587870-87-9P 587870-88-0P 587870-89-1P 587870-90-4P 587870-91-5P 587870-92-6P 587870-93-7P 587870-94-8P 587870-95-9P 587870-96-0P 587870-97-1P 587870-98-2P 587870-99-3P 587871-00-9P 587871-01-0P 587871-02-1P 587871-03-2P 587871-04-3P 587871-05-4P 587871-06-5P 587871-07-6P 587871-08-7P 587871-09-8P 587871-10-1P 587871-11-2P 587871-12-3P 587871-13-4P 587871-14-5P 587871-15-6P 587871-16-7P 587871-17-8P 587871-18-9P 587871-19-0P 587871-20-3P 587871-21-4P 587871-22-5P 587871-23-6P 587871-24-7P 587871-25-8P 587871-27-0P 587871-29-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 587870-74-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, phosphate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 587870-75-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, sulfate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN- 70006-24-5 CMF C17 H19 N5

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 587870-76-6 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
(2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 587870-77-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

●3 HCl

RN 587870-78-8 CAPLUS

CN Hexanedioic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ & N & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

CM 2

CRN 124-04-9 CMF C6 H10 O4

 $HO_2C-(CH_2)_4-CO_2H$

RN 587870-79-9 CAPLUS

CN Alginic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N
\end{array}$$

$$\begin{array}{c|c}
N \\
N
\end{array}$$

CM 2

CRN 9005-32-7 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 587870-80-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CM 1

$$\begin{array}{c|c}
H & CH_2 - N \\
\hline
N & N
\end{array}$$

CRN 77-92-9 CMF C6 H8 O7

RN 587870-81-3 CAPLUS

CN L-Aspartic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 56-84-8 CMF C4 H7 N O4

Absolute stereochemistry. Rotation (+).

RN 587870-82-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monobenzoate

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(9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$

CM 2

CRN 65-85-0 CMF C7 H6 O2

RN 587870-83-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 98-11-3 CMF C6 H6 Q3 S

RN 587870-84-6 CAPLUS

CN Butanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 107-92-6 CMF C4 H8 O2

$$\begin{tabular}{l} \tt O \\ \parallel \\ \tt HO-C-CH_2-CH_2-CH_3 \end{tabular}$$

RN 587870-85-7 CAPLUS

CN 1,3-Cyclopentanedicarboxylic acid, 1,2,2-trimethyl-, (1R,3S)-rel-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 5394-83-2 CMF C10 H16 O4

Relative stereochemistry.

RN 587870-86-8 CAPLUS

CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S,4R)-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$
 N N N

CM 2

CRN 3144-16-9 CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).

RN 587870-87-9 CAPLUS

CN D-Gluconic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 526-95-4 CMF C6 H12 O7

Absolute stereochemistry.

RN 587870-88-0 CAPLUS

CN 1,2,3-Propanetriol, 1-(dihydrogen phosphate), compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 57-03-4 CMF C3 H9 O6 P

$$\begin{array}{c} \text{OH} \\ | \\ \text{HO-CH}_2\text{--CH-CH}_2\text{--OPO}_3\text{H}_2 \end{array}$$

RN 587870-89-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$H$$
 N
 CH_2
 N
 N

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 587870-90-4 CAPLUS

CN Heptanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 111-14-8 CMF C7 H14 O2 $Me^{-(CH_2)}_{5}-CO_2H$

RN 587870-91-5 CAPLUS

CN Hexanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & CH_2 - N \\ \hline & N & N \end{array}$$

CM 2

CRN 142-62-1 CMF C6 H12 O2

 $Me^- (CH_2)_4 - CO_2H$

RN 587870-92-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ & N & \\ & & N \\ & N \\$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

. Double bond geometry as shown.

RN 587870-93-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 587870-94-8 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 587870-95-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monohydrobromide (9CI) (CA INDEX NAME)

• HBr

RN 587870-96-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monohydriodide (9CI) (CA INDEX NAME)

HI

RN 587870-97-1 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 107-36-8 CMF C2 H6 O4 S

 $HO-CH_2-CH_2-SO_3H$

RN 587870-98-2 CAPLUS

CN Propanoic acid, 2-hydroxy-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CM 2

CRN 50-21-5 CMF C3 H6 O3

RN 587870-99-3 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
\downarrow \\
N
\end{array}$$

$$\begin{array}{c}
CH_2 - N \\
N \\
\end{array}$$

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 587871-00-9 CAPLUS

CN 3-Pyridinecarboxylic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 59-67-6 CMF C6 H5 N O2

RN 587871-01-0 CAPLUS

CN 2-Naphthalenesulfonic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 120-18-3 CMF C10 H8 O3 S

RN 587871-02-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 587871-03-2 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N
\end{array}$$

$$\begin{array}{c|c}
N \\
N
\end{array}$$

CM 2

CRN 130-85-8 CMF C23 H16 O6

RN 587871-04-3 CAPLUS

CN Pectin, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 9000-69-5 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 587871-05-4 CAPLUS

CN Peroxymonosulfuric acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 7722-86-3 CMF H2 O5 S

RN 587871-06-5 CAPLUS

CN Benzenepropanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
CH_2 \\
N \\
N
\end{array}$$

CM 2

CRN 501-52-0 CMF C9 H10 O2

 $Ph-CH_2-CH_2-CO_2H$

RN 587871-07-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, compd. with 2,4,6-trinitrophenol (1:1) (9CI) (CA INDEX NAME)

CM 1

$$H$$
 N
 CH_2
 N
 N
 N

CRN 88-89-1 CMF C6 H3 N3 O7

RN 587871-08-7 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 75-98-9 CMF C5 H10 O2

RN 587871-09-8 CAPLUS

CN Propanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-

benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 79-09-4 CMF C3 H6 O2

RN 587871-10-1 CAPLUS

CN Butanedioic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 110-15-6 CMF C4 H6 O4

 $HO_2C-CH_2-CH_2-CO_2H$

RN 587871-11-2 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, sulfate

Page 58

(1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H & CH_2 - N \\
\hline
N & N
\end{array}$$

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 587871-12-3 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
\downarrow \\
N
\end{array}$$

$$\begin{array}{c|c}
CH_2 \\
N \\
N
\end{array}$$

CM. 2

CRN 526-83-0 CMF C4 H6 O6

RN 587871-13-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 526-83-0 CMF C4 H6 O6

$$\begin{array}{c|c} \text{OH} & \text{OH} \\ & | & | \\ \text{HO}_2\text{C}-\text{CH}-\text{CH}-\text{CO}_2\text{H} \end{array}$$

RN 587871-14-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ & N & \\ & & N \\ \end{array}$$

CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.

RN 587871-15-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

RN 587871-16-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

$$CH_2$$

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

RN 587871-17-8 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19'N5

$$\begin{array}{c|c} H & CH_2 - N \\ \hline N & N \end{array}$$

CM 2

CRN 133-37-9 CMF C4 H6 O6

Relative stereochemistry.

RN 587871-18-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

CM 2

CRN 147-73-9

CMF C4 H6 O6

Relative stereochemistry.

RN 587871-19-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, rel-(2R,3S)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \cdot \\ \hline & N & \cdot \\$$

CM 2

CRN 147-73-9 CMF C4 H6 O6 Relative stereochemistry.

RN 587871-20-3 CAPLUS

CN Thiocyanic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c}
H \\
N \\
\downarrow \\
N
\end{array}$$

$$\begin{array}{c}
CH_2 \\
N \\
N
\end{array}$$

CM 2

CRN 463-56-9 CMF C H N S

 $HS-C \equiv N$

RN 587871-21-4 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CM 2

CRN 7664-38-2 CMF H3 O4 P

RN 587871-22-5 CAPLUS

CN L-Glutamic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ & N & \\ & & N \end{array}$$
 $CH_2 - N \\ & N - N \end{array}$

CM 2

CRN 56-86-0 CMF C5 H9 N O4

Absolute stereochemistry.

RN 587871-23-6 CAPLUS

CN Carbonic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

$$CH_2$$
 N N N

CRN 463-79-6 CMF C H2 O3

RN 587871-24-7 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 147-71-7 CMF C4 H6 O6

Absolute stereochemistry.

RN 587871-25-8 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, compd. with bromine (1:1) (9CI) (CA INDEX NAME)

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 7726-95-6

CMF Br2

Br-Br

RN 587871-27-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 587871-29-2 CAPLUS

Page 67

CN Undecanoic acid, compd. with 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\mathbb{C}^{H}$$
 \mathbb{C}^{H} \mathbb{C}^{H} \mathbb{C}^{H} \mathbb{C}^{H} \mathbb{C}^{H}

CM 2

CRN 112-37-8 CMF C11 H22 O2

 $HO_2C-(CH_2)_9-Me$

L6 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:23532 CAPLUS

DN 138:89812

TI Preparation of heteroalkyl-substituted benzimidazoles useful in treating sexual dysfunction

IN Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.

PA USA

SO U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S. Ser. No. 803,537, abandoned.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

r Au.	PATENT NO.					KIND		DATE			APPLICATION NO.					DATE				
ΡI	US	US 2003008878				A1	_	20030109		US 2001-874484						20010605				
	US	S 2002169166				A1		2002	1114	US 2001-17939						20011214				
	CA	A 2439943				AA 20021107			1107	CA 2002-2439943						20020306				
	WO	2002	0880		A1 20021107			WO 2002-US7791						20020306						
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	ΕE,	ES,	FI,	GB,	GD,	GE,	GH,		
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,		
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,		
			UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,		
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
	EP 1373220					A1		20040102			EP 2002-731130					20020306				

AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 20040728 CN 2002-809382 20020306 CN 1516693 Α JP 2005507370 T2 20050317 JP 2002-585395 20020306 BR 2002005812 Α 20050503 BR 2002-5812 20020306 NO 2003003959 Α 20031110 NO 2003-3959 20030908 20030908 ZA 2003007007 Α 20041208 ZA 2003-7007 20031003 BG 108230 Α 20050430 BG 2003-108230 PRAI US 2001-803537 В2 20010309 US 2001-874484 A2 20010605 US 2001-17939 Α 20011214 WO 2002-US7791 W 20020306 MARPAT 138:89812 OS GΙ

$$R^2$$
 R^3
 R^4
 R^5
 R^5
 R^2
 R^3
 R^4
 R^5

AΒ Title compds. I [A = (hetero)aryl; L = CH2, CH2CH2, etc.; R1-4 = H,alkoxy, alkenyl, alkyl, alkylsulfinyl, alkylsulfonyl, etc.; R5 = H, alkoxycarbonyl, alkyl, etc.; Z = N, C(H)] are prepd. For instance, 1-(2-pyridy1)piperazine is alkylated with 2-chloromethylbenzimidazole (DMF, Et3N, 16 h) to give II. II induced statistically significant penile erections in rats after s.c. administration for doses of 0.01 .mu.mol/kg to 0.10 .mu.mol/kg. I are useful for the treatment of sexual dysfunction. IT 70006-24-5P, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1Hbenzimidazole 70006-25-6P, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1yl]methyl]-1H-benzimidazole 474417-17-9P 474417-18-0P, 2-[(4-Pyrimidin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole **474417-20-4P**, 2-[4-(1H-Benzimidazol-2-ylmethyl)piperazin-1yl]nicotinonitrile **474417-21-5P**, 5,7-Dibromo-2-[(4-(pyridin-2yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-22-6P, 5-Fluoro-2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole **474417-24-8P**, Isobutyl 2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole-1-carboxylate 474417-25-9P, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1-(pyrrolidin-1-ylcarbonyl)-1Hbenzimidazole 474417-26-0P, N,N-Dimethyl-2-[(4-(pyridin-2yl)piperazin-1-yl)methyl]-1H-benzimidazole-1-carboxamide
474417-48-6P, 6-[4-((1H-Benzimidazol-2-yl)methyl)piperazin-1yl]pyridin-3-ol 474417-51-1P, 2-[[4-(3-Methylpyridin-2yl)piperazin-1-yl]methyl]-1H-benzimidazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
 (prepn. of heteroalkyl-substituted benzimidazoles as dopamine agonists useful in treating sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 70006-25-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 N N N N

RN 474417-17-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

10/656672

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Br} & \text{N} & \text{CH}_2 \\ \hline & \text{NH} \end{array}$$

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & N & CH_2 - N & N \\
 & N & N & N \\
 & C - OBu-i \\
 & O & N & N \\
 & O & N \\
 & O & N & N \\
 & O$$

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

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L6
       ANSWER 8 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
       2002:888718 CAPLUS
AN
DN
       137:384842
       Benzimidazole compounds and antiviral uses thereof
ΤI
       Lackey, John William; Kinder, Daniel S.; Tvermoes, Nicolai A.
IN
       Trimeris, Inc., USA
PA
       PCT Int. Appl., 143 pp.
SO
       CODEN: PIXXD2
DT
       Patent
LΑ
       English
FAN.CNT 1
                                                                                                    DATE
       PATENT NO.
                                     KIND
                                                DATE
                                                                 APPLICATION NO.
                                     ____
       _____
                                                -----
                                                                 WO 2002-US14598
                                                                                                    20020510
PΙ
       WO 2002092575
                                      A1
                                                20021121
             W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                   CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
                   GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
       US 2003119754
                                      A1
                                                20030626
                                                              US 2002-141839
                                                                                                     20020509
PRAI US 2001-290038P
                                       Р
                                                20010511
       MARPAT 137:384842
OS
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GΙ

Title compds. I [R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxy, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, OH, alkoxy, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkylene, C:N, CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4] were prepd. for use as virucides that inhibit membrane fusion assocd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3 = 2-quinolinyl, R4-R7 = H] had IC50 of 5.16 .mu.g/mL.

IT 475648-10-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475648-10-3 CAPLUS

IT 475648-98-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475648-98-7 CAPLUS

CN 1H-Benzimidazole, 1-(1H-benzimidazol-2-ylmethyl)-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:869583 CAPLUS

DN 137:353027

TI Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related compounds that are useful in treating sexual dysfunction

IN Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey

PA USA

SO U.S. Pat. Appl. Publ., 53 pp. CODEN: USXXCO

DT Patent

LA English

FAN. CNT 2	
PATENT NO. KIND DATE APPLICATION NO	. DATE
PI US 2002169167 A1 20021114 US 2002-94265	20020308
US 2003162790 A1 20030828 US 2002-236812	20020906
CA 2478028 AA 20030918 CA 2003-247802	
WO 2003076431 A1 20030918 WO 2003-US6406	20030304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, E	
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, F	
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, K	
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, M	
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, T	M, TN, TR, TT, TZ,
UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW	
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, Z	
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, C	
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, F	
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, N	
BR 2003005708 A 20040928 BR 2003-5708	
EP 1483258 A1 20041208 EP 2003-716268	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, I	
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, C US 2004110766 A1 20040610 US 2003-699465	
PRAI US 2001-274805P P 20010309	20031031
US 2001-296078P P 20010605	
US 2001-340452P P 20011214	
US 2002-94265 A2 20020308	
US 2002-34203 AZ 20020300 US 2002-236812 A 20020906	
WO 2003-US6406 W 20030304	
OS MARPAT 137:353027	

GI

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6

Ι

AB Title compds. (I) [wherein A = (un)substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un)substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. IT 70006-24-5P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H & \\ \hline & N & \\$$

IT **70006-25-6P**, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-17-9P, 2-[[4-(Pyridin-2-yl)piperazin-1yl]methyl]-1H-benzimidazole maleate (1:1) 474417-18-0P, 2-[[4-(Pyrimidin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-19-1P, 2-[[4-(6-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-20-4P, 2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]nicotinonitrile 474417-21-5P, 5,7-Dibromo-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole **474417-22-6P**, 5-Fluoro-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-24-8P, Isobutyl 2-[[4-(pyridin-2yl)piperazin-1-yl]methyl]-1H-benzimidazole-1-carboxylate 474417-25-9P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1-(pyrrolidin-1-ylcarbonyl)-1H-benzimidazole 474417-26-0P, N,N-Dimethyl-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole-1carboxamide 474417-39-5p, 2-[[2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-41-9P, 2-[[(2S)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-43-1P , 2-[[(2R)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-45-3P, N-[2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-yl]methanesulfonamide **474417-47-5P**, 2-[[4-(3-Fluoropyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-48-6P, 6-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-ol 474417-51-1P, 2-[[4-(3-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-52-2P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole bis((L)tartrate) RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction) RN 70006-25-6 CAPLUS 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA CN INDEX NAME)

$$\begin{array}{c|c} H \\ N \\ \hline \\ N \\ \end{array} CH_2 - N \\ \hline \\ S \\ \end{array}$$

RN 474417-17-9 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

10/656672

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl](9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Br & N & CH_2 & N & N \\ \hline & NH & CH_2 & N & N \\ \hline & Br & NH & NH \\ \hline \end{array}$$

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & CH_2 & N & N \\
\hline
C-NMe_2 & 0
\end{array}$$

RN 474417-39-5 CAPLUS

CN 1H-Benzimidazole, 2-[[2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-41-9 CAPLUS

CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/656672

RN 474417-43-1 CAPLUS

CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-45-3 CAPLUS

CN Methanesulfonamide, N-[2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ NH & S-Me \\ \hline \\ & & \\ N & \\ & & \\ \end{array}$$

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-51-1 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-52-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} H \\ N \\ \end{array} CH_2 - N \\ N \\ \end{array}$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

IT 474417-50-0P, 2-[[4-[5-(Benzyloxy)pyridin-2-yl]piperazin-1-yl]methyl]-1H-benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 474417-50-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-[5-(phenylmethoxy)-2-pyridinyl]-1piperazinyl]methyl] - (9CI) (CA INDEX NAME)

ANSWER 10 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN L6

AN2002:869582 CAPLUS

DN 137:353026

Preparation of 2-(piperazinylmethyl)-1H-benzimidazoles and related ΤI compounds that are useful in treating sexual dysfunction

Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew O.; Patel, Meena V.; Kolasa, Teodozyj; Brioni, Jorge D.; Rohde, Jeffrey

PΑ USA

U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 874,484. SO CODEN: USXXCO

 \mathbf{DT} Patent

LА English

FAN.																			•
		PATENT NO.								APPLICATION NO.					DATE				
ΡI		2002169166					2002								2	00112	214		
		2003008878								US 2001-874484					20010605				
	CA	2439943									CA 2002-2439943					20020306			
	WO	2002088093				A 1	A1 20021107			WO 2002-US7791					20020306				
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		1516								CN 2002-809382									
	UP	2005	0050	10		12					JP 2002-585395								
	BK	2002	0020	TZ		A				BR 2002-5812									
		1082	20	J J		A.				NO 2003-3959									
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MARPAT 137:353026 OS

GI

$$R^2$$
 R^3
 R^4
 R^5
 R^6
 R^6
 R^6
 R^6
 R^6

AΒ Title compds. (I) [wherein A = (un) substituted Ph, pyridinyl, pyrimidinyl, thienyl, pyrrolyl, furyl, imidazolyl, pyrazolyl, (is)oxazolyl, (iso)thiazolyl, triazolyl, tetrazolyl, etc.; L = CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; R1-R4 = independently H, alkoxy(carbonyl), alkenyl, (halo)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkynyl, alkylcarbonyl(oxy), CO2H, CN, CHO, halo(alkoxy), OH, hydroxyalkyl, SH, NO2, or (un) substituted amino or carbamoyl; R5 = H, alkoxycarbonyl, alkyl, (cyclo)alkylcarbonyl, arylcarbonyl, heterocyclylcarbonyl, or (un) substituted carbamoyl; R6 = H or alkyl; Z = N, C, or CH; or pharmaceutically acceptable salt, ester, amide, or prodrug thereof] were prepd. as dopamine agonists (no data) for the treatment of sexual dysfunction. For example, 2-chloromethylbenzimidazole and TEA were added to 1-(2-pyridyl)piperazine in DMF and the soln. stirred at 20.degree. for 16 h to give 2-[(4-pyridin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole (II) in 72% yield. The latter induced penile erection in Wistar rats with an incidence of 83% at a dose of 0.03 .mu.mol/kg without inducing emesis. 70006-24-5p, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1H-IT

benzimidazole

Ι

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 70006-24-5 CAPLUS

1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) CN INDEX NAME)

$$CH_2$$

IT **70006-25-6P**, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-17-9P, 2-[[4-(Pyridin-2-yl)piperazin-1yl]methyl]-1H-benzimidazole maleate (1:1) 474417-18-0P, 2-[[4-(Pyrimidin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole **474417-19-1P**, 2-[[4-(6-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-20-4P, 2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]nicotinonitrile 474417-21-5P, 5,7-Dibromo-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole **474417-22-6P**, 5-Fluoro-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-24-8P, Isobutyl 2-[[4-(pyridin-2yl)piperazin-1-yl]methyl]-1H-benzimidazole-1-carboxylate **474417-25-9P**, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1-(pyrrolidin-1-ylcarbonyl)-1H-benzimidazole 474417-26-0P, N,N-Dimethyl-2-[[4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole-1carboxamide 474417-39-5P, 2-[[2-Methyl-4-(pyridin-2-yl)piperazin-1-y1]methy1]-1H-benzimidazole 474417-41-9p, 2-[[(2S)-2-Methy1-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-43-1P , 2-[[(2R)-2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole 474417-45-3P, N-[2-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-yl]methanesulfonamide **474417-47-5P**, 2-[[4-(3-Fluoropyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-48-6P, 6-[4-[(1H-Benzimidazol-2yl)methyl]piperazin-1-yl]pyridin-3-ol 474417-51-1P, 2-[[4-(3-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-52-2P, 2-[[4-(Pyridin-2-yl)piperazin-1-yl]methyl]-1Hbenzimidazole bis((L)tartrate) RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (dopamine agonist; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction) RN 70006-25-6 CAPLUS 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA CN INDEX NAME)

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \hline & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 474417-17-9 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

10/656672

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl](9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & CH_2 & N & N \\
\hline
 & C - OBu-i \\
 & O & O & O & O \\
\end{array}$$

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & N & \\
 & CH_2 & N & N \\
 & N & N \\
 & C-NMe_2 & \\
 & O & & \\
\end{array}$$

RN 474417-39-5 CAPLUS

CN 1H-Benzimidazole, 2-[[2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-41-9 CAPLUS

CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/656672

RN 474417-43-1 CAPLUS

CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-45-3 CAPLUS

CN Methanesulfonamide, N-[2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$N$$
 CH_2 N N N OH

RN 474417-51-1 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-52-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \end{array}$$
 $CH_2 - N \\ \hline & N & \\ \end{array}$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

IT 474417-50-0P, 2-[[4-[5-(Benzyloxy)pyridin-2-yl]piperazin-1-yl]methyl]-1H-benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (heterocyclylalkyl)benzimidazoles from heterocycles and (haloalkyl)benzimidazoles for treatment of sexual dysfunction)

RN 474417-50-0 CAPLUS

1H-Benzimidazole, 2-[[4-[5-(phenylmethoxy)-2-pyridinyl]-1-CN piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$CH_2$$
 N N N N $O-CH_2-Ph$

ANSWER 11 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN L6

AN 2002:849600 CAPLUS

137:353023 DN

Preparation of 2-heterocycloalkyl-benzimidazole derivatives for treating TIsexual dysfunction

Cowart, Marlon D.; Bhatia, Pramila A.; Daanen, Jerome F.; Stewart, Andrew IN O.; Kolasa, Teodozyj; Rohde, Jeffrey J.; Patel, Meena V.; Brioni, Jorge D.

PA Abbott Laboratories, USA

PCT Int. Appl., 115 pp. SO

CODEN: PIXXD2

DTPatent

LA English																			
r Auv.	AN CNT 3 PATENT NO.				KIND DATE			APPLICATION NO.					DATE						
PI	WO 2002088093				A1 20021107			WO 2002-US7791						20020306					
		W:	ΑE,	AG,	AL,	ΑM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	ĎZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
				PT,			•	•	•	•	•			-	-	-		-	
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				DE,															
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			2003008878 A1 20030109																
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	CA 2439943								CA 2002-2439943										
,	EΡ	1373														20020306			
		R:		BE,									LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							•
	JP	2005	5073	70		Т2		2005	0317		JP 2	002-	5853	95		2			
	BR 2002005812				Α									20020306					
	ИО	2003	0039	59		Α		2003	1110		NO 2	003-	3959			2	0030	908	
PRAI	US	2001	-803	537		Α		2001	0309										
	US	2001	-874	484		Α		2001	0605										
	US	2001	-179	39		Α		2001	1214										
	WO	2002	-US7	791		W		2002	0306										
os	MA	RPAT	137:	3530	23														
GI						•													

The present invention relates to the use of I [A = Ph, pyridyl, pyrimidinyl, thiophenyl, etc.; X = NH, O, S; L = (CH2)1-4; R1-4 = H, alkoxy, alkenyl, alkyl, alkylsulfinyl, etc.; R5 = H, alkoxycarbonyl, alkyl, alkylcarbonyl, arylcarbonyl, etc.; R6 = H, alkyl; Z = N, C (if dotted line is a bond), CH (if dotted line is absent)] for the treatment of sexual dysfunction. For instance, 1-(2-pyridyl)piperazine was reacted with 2-chloromethylbenzimidazole to afford II which was isolated and converted to the maleate salt. II at s.c. doses of 0.01 - 0.10 .mu.mol/kg induced statistically significant penile erections in rats compared to vehicle (L-ascorbic acid in saline).

TO006-24-5P, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1H-

II

benzimidazole 70006-25-6P, 2-[[4-(1,3-Thiazol-2-yl)piperazin-1yl]methyl]-1H-benzimidazole 474417-17-9P, 2-[(4-(Pyridin-2yl)piperazin-1-yl)methyl]-1H-benzimidazole maleate 474417-18-0P, 2-[(4-Pyrimidin-2-ylpiperazin-1-yl)methyl]-1H-benzimidazole 474417-19-19, 2-[[4-(6-Methylpyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-20-4P, 2-[4-(1H-Benzimidazol-2ylmethyl)piperazin-1-yl]nicotinonitrile 474417-21-5P, 5,7-Dibromo-2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-22-6P, 5-Fluoro-2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-24-8P, Isobutyl 2-[(4-(pyridin-2-1))]yl)piperazin-1-yl)methyl]-1H-benzimidazole-1-carboxylate 474417-25-9P, 2-[(4-(Pyridin-2-yl)piperazin-1-yl)methyl]-1-(pyrrolidin-1-ylcarbonyl)-1H-benzimidazole 474417-26-0P, N, N-Dimethyl-2-[(4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole-1carboxamide 474417-39-5P, 2-[(2-Methyl-4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-41-9p, (S)-2-[[2-Methyl-4-(pyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole 474417-43-1P , (R)-2-[(2-Methyl-4-(pyridin-2-yl)piperazin-1-yl)methyl]-1H-benzimidazole 474417-45-3P, N-[2-[4-(1H-Benzimidazol-2-ylmethyl)piperazin-1yl]pyridin-3-yl]methanesulfonamide 474417-47-5P, 2-[[4-(3-Fluoropyridin-2-yl)piperazin-1-yl]methyl]-1H-benzimidazole

RN

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 70006-25-6 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c} & H & \\ \hline & N & \\ \hline & N & \\ \hline & N & \\ \hline & S & \\ \end{array}$$

RN 474417-17-9 CAPLUS
CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-,
(2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$
 N N

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 474417-18-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyrimidinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-19-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(6-methyl-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-20-4 CAPLUS

CN 3-Pyridinecarbonitrile, 2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl](9CI) (CA INDEX NAME)

RN 474417-21-5 CAPLUS

CN 1H-Benzimidazole, 4,6-dibromo-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-22-6 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-24-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & N & \\
 & CH_2 - N & N \\
 & N & \\
 & C-OBu-i \\
 & 0 & \\
 & O & \\
\end{array}$$

RN 474417-25-9 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-1-(1-pyrrolidinylcarbonyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & CH_2 & N & N \\
N & CH_2 & N & N
\end{array}$$

RN 474417-26-0 CAPLUS

CN 1H-Benzimidazole-1-carboxamide, N,N-dimethyl-2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 474417-39-5 CAPLUS

CN 1H-Benzimidazole, 2-[[2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 474417-41-9 CAPLUS

CN 1H-Benzimidazole, 2-[[(2S)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-43-1 CAPLUS

CN 1H-Benzimidazole, 2-[[(2R)-2-methyl-4-(2-pyridinyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 474417-45-3 CAPLUS

CN Methanesulfonamide, N-[2-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]-3-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ NH & S-Me \\ \hline \\ & & \\ NH & \\ \end{array}$$

RN 474417-47-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-fluoro-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-48-6 CAPLUS

CN 3-Pyridinol, 6-[4-(1H-benzimidazol-2-ylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 474417-51-1 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(3-methyl-2-pyridinyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 474417-52-2 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 70006-24-5 CMF C17 H19 N5

$$CH_2$$

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

IT 474417-50-0P, 2-[[4-[5-(Benzyloxy)pyridin-2-yl]piperazin-1-yl]methyl]-lH-benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 2-piperazino/piperidino-alkyl-benzimidazole derivs. for treating sexual dysfunction)

RN 474417-50-0 CAPLUS

CN 1H-Benzimidazole, 2-[[4-[5-(phenylmethoxy)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:235655 CAPLUS

DN 116:235655

TI Preparation of 2-[4-(azolobutyl)piperazino(methyl)]benzimidazoles and

10/656672

analogs as antihistaminics

Cuberes-Altisent, Maria Rosa; Frigola-Constansa, Jordi; Pares-Corominas, IN Juan

Laboratorios del Dr. Esteve S. A., Spain PA

Eur. Pat. Appl., 14 pp. SO

CODEN: EPXXDW

DTPatent

LΑ French

	CNT 1				
1741.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 468884			EP 1991-402055	19910724
	EP 468884				
				R, IT, LI, LU, NL, SE	
	FR 2665161	A 1		FR 1990-9563	19900726
	FR 2665161	B1	19921127		
	NO 9102669	Α	19920127	NO 1991-2669	19910708
	NO 178576	В	19960115 19960424 19950530 19990501		
	NO 178576	С	19960424		
	RO 109736	B1	19950530		
	KR 183028	Bl	19990501		19910723
	AU 9181280	ΑI	19920130	AU 1991-81280	19910724
	AU 638857	B2	19930708		
	AT 131822				
	CA 2047880	AA	19920127	CA 1991-2047880	19910725
	ZA 9105839	A	19920429	ZA 1991-5839	19910725
	US 5182280	Α	19930126	US 1991-735653	19910725
	ES 2038074	A1	19930701	ES 1991-1740	19910725
	ES 2038074	B1	19940201		
	RU 2024519		19941215	RU 1991-5001216	
	PL 167222	B1	19950831	PL 1991-291247	
	CN 1058404	A B A2	19920205	CN 1991-105154	19910726
	CN 1030915	В	19960207		
	ни 58320	A2	19920228	HU 1991-2518	19910726
	HU 215109	В	20000428		
	JP 04234387	A2	19920824	JP 1991-187721	19910726
	JP 08032703	B4	19960329		
	CZ 280185	В6 А	19951115	CZ 1991-2351	
	IN 176350	Α	19960504	IN 1991-DE679	19910726
PRAI	FR 1990-9563	Α	19900726		
os	MARPAT 116:235655				
GI					

Title compds. [I; R = azolo group Q; R1, R2 = H, halo, alkyl, alkoxy, etc.; X, Y, Z, W = N, (substituted) CH; n=0, 1; m=2-4] were prepd. Thus, I (R1 = R2 = H, n=1) (II; R = H, m=0) was condensed with AB

N-(4-bromobutyl) phthalimide and the product hydrazinolyzed to give II (m = 4) (III; R = NH2) which was cyclocondensed with 2,5dimethoxytetrahydrofuran to give III (R = pyrrolo). III (R = 1,2,4-triazol-1-yl) had ED50 of 0.036 mg/kg i.p. against compd. 48/80-induced mortality in rats. IT 140945-43-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as antihistaminic) RN 140945-43-3 CAPLUS

1H-Benzimidazole, 1-(2-ethoxyethyl)-2-[[4-(1H-pyrazol-1-yl)-1-CN piperazinyl]methyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

CRN 140945-42-2 CMF C19 H26 N6 O

CM 2

110-16-7 CRN CMF C4 H4 O4

Double bond geometry as shown.

ANSWER 13 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN L6 AN 1979:204028 CAPLUS DN 90:204028 Synthesis and anthelmintic activity of 2-(N4-substituted-N1-TI piperazinyl)methyl-5-(or 6)-substituted benzimidazoles Sule, D. P.; Shah, M. H.; Ghooi, Shaila; Bhide, M. B. ΑU Dep. Chemother., Haffkine Inst., Bombay, India CS Bulletin of Haffkine Institute (1978), 6(2), 62-4 SO CODEN: BHFIA9; ISSN: 0304-9515 DT Journal English LА GI

IT

AB Sixteeen piperazinylmethylbenzimidazoles I (R = NO2, H; R1 = Me, CH2CH2OH, benzyl, Ph, p-ClC6H4, o-MeOC6H4, o-tolyl, 2-pyridyl, 2-thiazolyl) were prepd. by reaction of the piperazine II with the resp. 2-chloromethylbenzimidazoles, which were prepd. from 3,4-(H2N)2C6H3R and ClCH2CO2H. The majority of I showed anthelmintic activity >80% at 500 mg/kg as compared to Yomesan showing 100% activity at 500 mg/kg. I (R = NO2) were more active than I (R = H).

70006-24-5P 70006-25-6P 70006-32-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and anthelmintic activity of)

RN 70006-24-5 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 70006-25-6 CAPLUS

CN 1H-Benzimidazole, 2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\sim$$
 CH₂ \sim N \sim N

RN 70006-32-5 CAPLUS

CN 1H-Benzimidazole, 5-nitro-2-[[4-(2-thiazolyl)-1-piperazinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

$$O_2N$$
 N
 CH_2
 N
 N
 S

●2 HC1

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-15.33	-15.33

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=> s 15 L7 0 L5

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